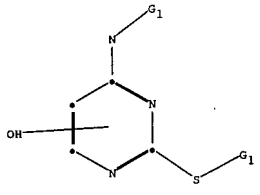
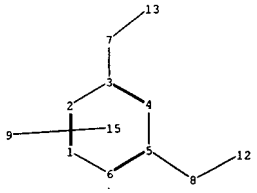
EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	1386	((544/301) or (514/274)).CCLS.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/09/25 21:32

C:\Program Files\Stnexp\Queries\10525495 (a).str



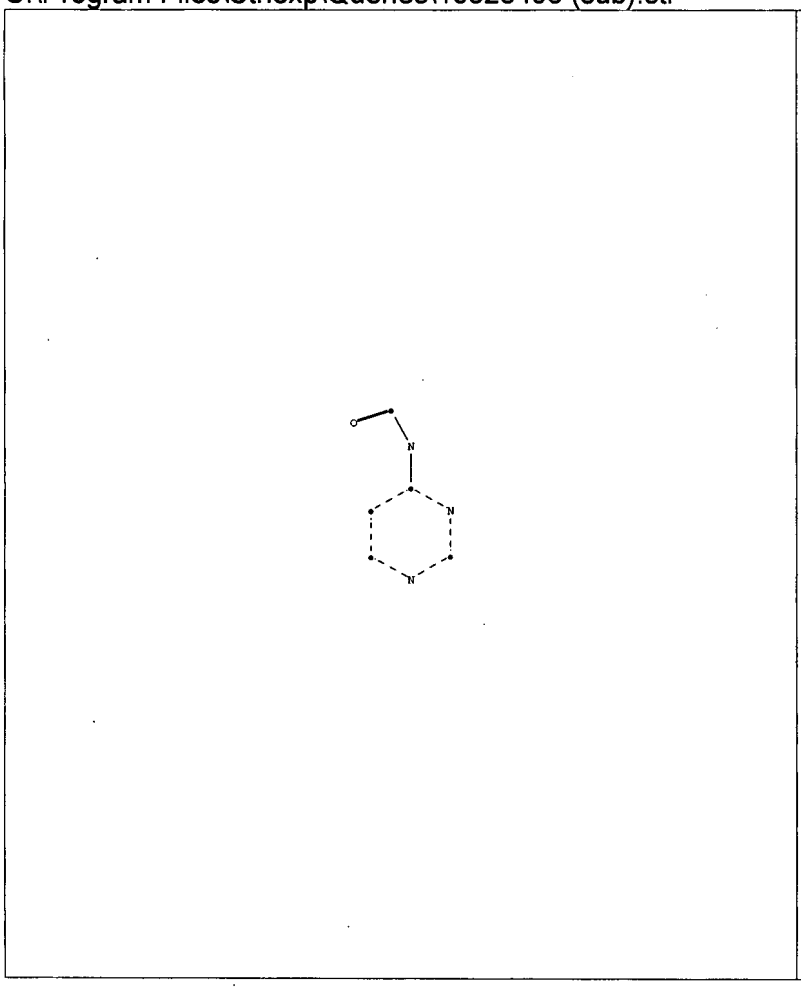


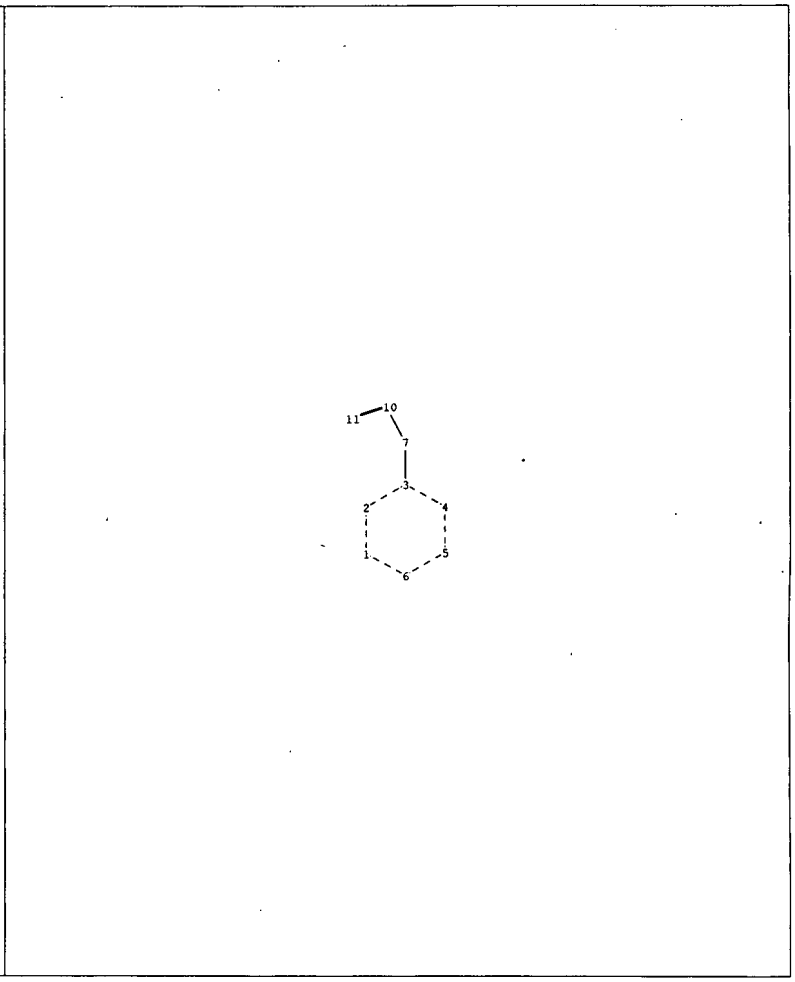
chain nodes :
7 8 9 12 13
ring nodes :
1 2 3 4 5 6
chain bonds :
3-7 5-8 7-13 8-12
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
3-7 5-8 7-13 8-12
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:Cb,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 12:CLASS 13:CLASS 15:Atom

C:\Program Files\Stnexp\Queries\10525495 (sub).str



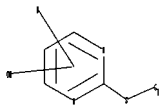


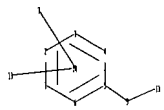
chain nodes :
7 10 11
ring nodes :
1 2 3 4 5 6
chain bonds :
3-7 7-10 10-11
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 3-7 4-5 5-6 7-10 10-11
isolated ring systems :
containing 1 :

G1:Cb,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS10:CLASS11:CLASS

=>
Uploading C:\Program Files\Stnexp\Queries\10525495.str





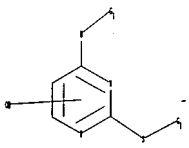
chain nodes :
7 9 11 13
ring nodes :
1 2 3 4 5 6
chain bonds :
5-9 9-11
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
5-9 9-11
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

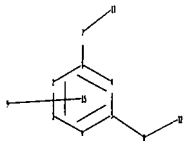
G1:Cb,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:CLASS 11:CLASS 13:CLASS 14:Atom

L1 STRUCTURE UPLOADED

=>
Uploading C:\Program Files\Stnexp\Queries\10525495 (a).str





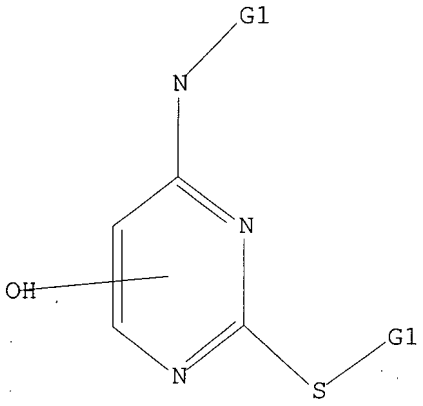
chain nodes :
7 8 9 12 13
ring nodes :
1 2 3 4 5 6
chain bonds :
3-7 5-8 7-13 8-12
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
3-7 5-8 7-13 8-12
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:Cb,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 12:CLASS
13:CLASS 15:Atom

L2 STRUCTURE UPLOADED

=> d l2
L2 HAS NO ANSWERS
L2 STR



G1 Cb,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l2 sss sam
SAMPLE SEARCH INITIATED 11:35:17 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1767 TO ITERATE

100.0% PROCESSED 1767 ITERATIONS 49 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 32819 TO 37861
PROJECTED ANSWERS: 560 TO 1400

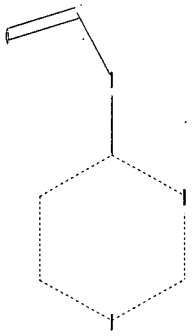
L3 49 SEA SSS SAM L2

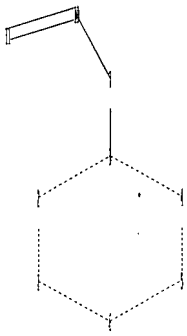
=> s l2 sss ful
FULL SEARCH INITIATED 11:35:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 34523 TO ITERATE

100.0% PROCESSED 34523 ITERATIONS 908 ANSWERS
SEARCH TIME: 00.00.01

L4 908 SEA SSS FUL L2

=>
Uploading C:\Program Files\Stnexp\Queries\10525495 (sub).str





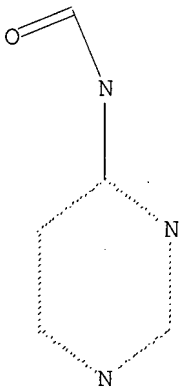
chain nodes :
7 10 11
ring nodes :
1 2 3 4 5 6
chain bonds :
3-7 7-10 10-11
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 3-7 4-5 5-6 7-10 10-11
isolated ring systems :
containing 1 :

G1:Cb,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 10:CLASS 11:CLASS

L5 STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5 STR



G1 Cb,Ak

Structure attributes must be viewed using STN Express query preparation.

```
=> s l5 sss sub=l4 sam
SAMPLE SUBSET SEARCH INITIATED 11:36:00 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED -       47 TO ITERATE

100.0% PROCESSED       47 ITERATIONS                          47 ANSWERS
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):          ONLINE  **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):         529 TO     1351
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):            529 TO     1351

L6            47 SEA SUB=L4 SSS SAM L5

=> s l5 sss sub=l4 ful
FULL SUBSET SEARCH INITIATED 11:36:07 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -      826 TO ITERATE

100.0% PROCESSED      826 ITERATIONS                         823 ANSWERS
SEARCH TIME: 00.00.01

L7           823 SEA SUB=L4 SSS FUL L5

=> s l4 not l7
L8           85 L4 NOT L7

=> => s l8
L9           13 L8

=> d l9 1-13 bib,ab,hitstr
```

L9 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:182850 CAPLUS
DN 140:217659
TI Preparation of 2-organothio-6-amino-4-pyrimidinols as chemokine receptor activity modulators
IN Ebden, Mark Richard; Meghani, Premji; Cook, Antony Ronald; Steele, John; Cheema, Lal Lashkar Singh
PA AstraZeneca AB, Swed.; AstraZeneca UK Limited
SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004018435	A1	20040304	WO 2003-GB3632	20030820
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003255819	A1	20040311	AU 2003-255819	20030820
	EP 1539713	A1	20050615	EP 2003-792486	20030820
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006503906	T	20060202	JP 2005-501216	20030820
	US 2006004030	A1	20060105	US 2005-525495	20050223
PRAI	GB 2002-19819	A	20020824		
	GB 2002-23287	A	20021008		
	WO 2003-GB3632	W	20030820		

OS MARPAT 140:217659
AB 2-Organothio-6-amino-4-pyrimidinols (shown as I; variables defined below; e.g. II), pharmaceutically acceptable salts, solvates and in vivo hydrolyzable esters thereof, have activity as pharmaceuticals, in particular as modulators of chemokine receptor (especially CXCR2) activity, and may be useful in the treatment (therapeutic or prophylactic) of conditions/diseases in human and nonhuman animals which are exacerbated or caused by excessive or unregulated production of chemokines. For I: R1 is a C3-7carbocyclyl, C1-8alkyl, C2-6alkenyl and C2-6alkynyl; R2 is C3-7carbocyclyl, C1-8alkyl, C2-6alkenyl or C2-6alkynyl; R3 is H or R2; R4 is H, C1-6alkyl or phenyl; X is H, halo, cyano, nitro, hydroxy, C1-6alkoxy, -NR5R6, -COOR7, -CONR5R6, - NR8COR9, thio, thiocyanato, thioC1-6alkyl, -SO2R10, -SO2NR5R6, -NR8SO2R10, C3-7carbocyclyl, C1-8alkyl, C2-6alkenyl or C2-6alkynyl, Ph, heteroaryl, thiophenyl, thioheteroaryl, aminoheteroaryl, and thioC1-6-alkylheteroaryl; addnl. details are given in the claims. Methods of preparation are claimed and 34 example prepns. are included. For example, 1.7 g II was prepared by condensation of 3-chlorobenzyl bromide with 2.0 g 6-[((1R)-2-hydroxy-1-methylethyl)amino]-2-mercapto-4-pyrimidinol, which was prepared (7.2 g) by condensation of 39 mL (R)-alaninol with 16.1 g 6-amino-2-mercapto-4-pyrimidinol. II was reacted with N-chlorosuccinimide, KSCN/Br2, etc. to give 5-substituted derivs. In some other cases, 6-[((1R)-2-hydroxy-1-methylethyl)amino]-2-mercapto-4-pyrimidinol was condensed with 2,3-difluorobenzyl bromide to give 2-[[(2,3-difluorophenyl)methyl]thio]-6-[((1R)-2-hydroxy-1-methylethyl)amino]-4-pyrimidinol, which was reacted with

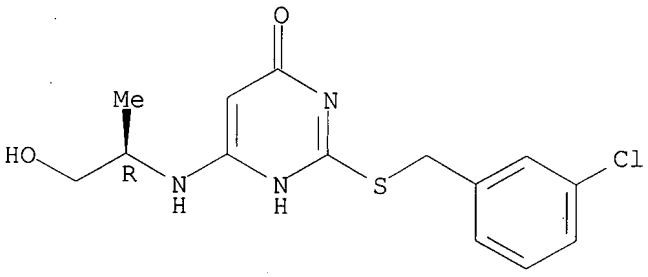
5-(4-pyridinyl)-1,3,4-oxadiazole-2-thiol, etc. to give 2-[[(2,3-Difluorophenyl)methyl]thio]-6-[((1R)-2-hydroxy-1-methylethyl)amino]-5-[[5-(4-pyridinyl)-1,3,4-oxadiazol-2-yl]thio]-4-pyrimidinol, etc. In another example, 2-[(2,3-difluorobenzyl)thio]-6-[((1R)-2-hydroxy-1-methylethyl)amino]-5-(1,3-oxazol-5-yl)pyrimidin-4-ol was prepared by cyclization of 4-(allyloxy)-6-[[(1R)-2-[(tert-butyldimethylsilyl)oxy]-1-methylethyl]amino]-2-[(2,3-difluorobenzyl)thio]pyrimidine-5-carboxaldehyde with p-toluenesulfonylmethyl isocyanide. The 34 examples compds. have pIC50 >5.5 for binding to hrCXCR2, e.g. 6.10 for II. Compds. I according to the examples were tested and are antagonists of the CXCR2 receptor in human neutrophils (no data).

IT 666752-53-0P, 2-[(3-Chlorobenzyl)thio]-6-[((1R)-2-hydroxy-1-methylethyl)amino]-4-pyrimidinol 666752-55-2P, 2-[(3-Chlorobenzyl)thio]-4-hydroxy-6-[((1R)-2-hydroxy-1-methylethyl)amino]pyrimidin-5-yl thiocyanate 666752-59-6P, 2-[(2,3-Difluorobenzyl)thio]-4-hydroxy-6-[((1R)-2-hydroxy-1-methylethyl)amino]pyrimidine-5-carbonitrile 666752-81-4P, Ethyl [[2-[[(2,3-difluorophenyl)methyl]thio]-4-hydroxy-6-[((1R)-2-hydroxy-1-methylethyl)amino]-5-pyrimidinyl]thio]acetate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of 2-organothio-6-amino-4-pyrimidinols as chemokine receptor activity modulators)

RN 666752-53-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[[(3-chlorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

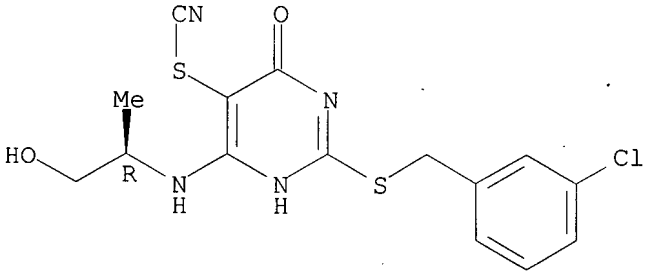
Absolute stereochemistry.



RN 666752-55-2 CAPLUS

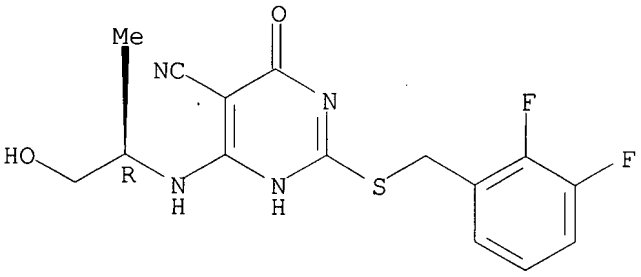
CN Thiocyanic acid, 2-[[(3-chlorophenyl)methyl]thio]-1,4-dihydro-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-4-oxo-5-pyrimidinyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



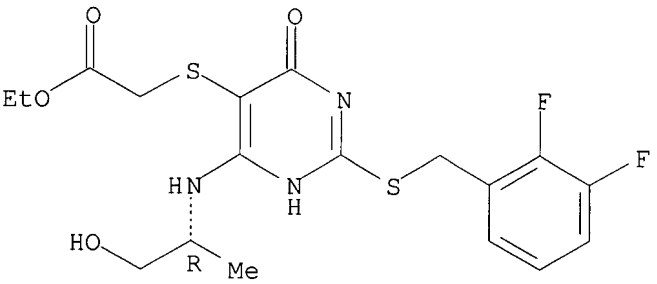
RN 666752-59-6 CAPLUS
CN 5-Pyrimidinecarbonitrile, 2-[[(2,3-difluorophenyl)methyl]thio]-1,4-dihydro-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 666752-81-4 CAPLUS
CN Acetic acid, [[2-[[(2,3-difluorophenyl)methyl]thio]-1,4-dihydro-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-4-oxo-5-pyrimidinyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



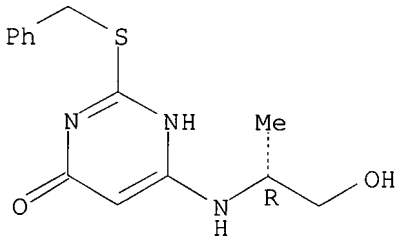
IT 666752-50-7P, 2-(Benzylthio)-6-[((1R)-2-hydroxy-1-methylethyl)amino]-4-pyrimidinol 666752-52-9P, 2-(Benzylthio)-5-chloro-6-[((1R)-2-hydroxy-1-methylethyl)amino]-4-pyrimidinol 666752-54-1P, 5-Chloro-2-[(3-chlorobenzyl)thio]-6-[((1R)-2-hydroxy-1-methylethyl)amino]-4-pyrimidinol 666752-56-3P, N-[2-[(3-Chlorobenzyl)thio]-4-hydroxy-6-[((1R)-2-hydroxy-1-methylethyl)amino]-5-pyrimidinyl]methanesulfonamide 666752-58-5P, 2-[(3-Chlorobenzyl)thio]-5-fluoro-6-[((1R)-2-hydroxy-1-methylethyl)amino]-4-pyrimidinol 666752-66-5P, 5-Chloro-2-[[(2,3-difluorophenyl)methyl]thio]-6-[((1R)-2-hydroxy-1-

methylethyl)amino]-4-pyrimidinol 666752-69-8P,
2-[[(2,3-Difluorophenyl)methyl]thio]-6-[((1R)-2-hydroxy-1-
methylethyl)amino]-5-iodo-4-pyrimidinol 666752-70-1P,
2-[[(2,3-Difluorophenyl)methyl]thio]-6-[((1R)-2-hydroxy-1-
methylethyl)amino]-5-nitro-4-pyrimidinol 666752-72-3P,
2-[[(3-Chlorophenyl)methyl]thio]-6-[((1R)-2-hydroxy-1-methylethyl)amino]-5-
[(1,3,4-thiadiazol-2-yl)thio]-4-pyrimidinol 666752-73-4P,
2-[[(2,3-Difluorophenyl)methyl]thio]-6-[((1R)-2-hydroxy-1-
methylethyl)amino]-5-[(1H-imidazol-2-yl)thio]-4-pyrimidinol
666752-74-5P, 2-[[(2,3-Difluorophenyl)methyl]thio]-5-[[2-
(dimethylamino)ethyl]thio]-6-[((1R)-2-hydroxy-1-methylethyl)amino]-4-
pyrimidinol 666752-75-6P, 1-[2-[[(2,3-
Difluorophenyl)methyl]thio]-4-hydroxy-6-[((1R)-2-hydroxy-1-
methylethyl)amino]-5-pyrimidinyl]-4(1H)-pyridinethione
666752-76-7P, 2-[[(2,3-Difluorophenyl)methyl]thio]-6-[((1R)-2-
hydroxy-1-methylethyl)amino]-5-[(4-pyridinyl)thio]-4-pyrimidinol
666752-77-8P, 2-[[(2,3-Difluorophenyl)methyl]thio]-6-[((1R)-2-
hydroxy-1-methylethyl)amino]-5-[(1H-1,2,4-triazol-3-yl)thio]-4-pyrimidinol
666752-78-9P, 2-[[(2,3-Difluorophenyl)methyl]thio]-6-[((1R)-2-
hydroxy-1-methylethyl)amino]-5-[(4-methyl-4H-1,2,4-triazol-3-yl)thio]-4-
pyrimidinol 666752-79-0P, 5-[(5-Amino-4H-1,2,4-triazol-3-
yl)thio]-2-[[(2,3-difluorophenyl)methyl]thio]-6-[((1R)-2-hydroxy-1-
methylethyl)amino]-4-pyrimidinol 666752-80-3P,
2-[[(2,3-Difluorophenyl)methyl]thio]-6-[((1R)-2-hydroxy-1-
methylethyl)amino]-5-[[5-(4-pyridinyl)-1,3,4-oxadiazol-2-yl]thio]-4-
pyrimidinol 666752-82-5P, 2-[[2-[[(2,3-
Difluorophenyl)methyl]thio]-4-hydroxy-6-[((1R)-2-hydroxy-1-
methylethyl)amino]-5-pyrimidinyl]thio]-N-methylacetamide
666752-83-6P, 2-[[2-[[(2,3-Difluorophenyl)methyl]thio]-4-hydroxy-6-
[((1R)-2-hydroxy-1-methylethyl)amino]-5-pyrimidinyl]thio]-N-[2-
(dimethylamino)ethyl]acetamide 666752-84-7P,
1-[[[2-[[(2,3-Difluorophenyl)methyl]thio]-4-hydroxy-6-[((1R)-2-hydroxy-1-
methylethyl)amino]-5-pyrimidinyl]thio]acetyl]piperazine
666752-85-8P, 2-[[(2,3-Difluorophenyl)methyl]thio]-6-[((1R)-2-
hydroxy-1-methylethyl)amino]-5-[(4-methyl-2-oxazolyl)thio]-4-pyrimidinol
666752-86-9P, 2-[[(2,3-Difluorophenyl)methyl]thio]-6-[((1R)-2-
hydroxy-1-methylethyl)amino]-5-[[(1,2,4-oxadiazol-3-yl)methyl]thio]-4-
pyrimidinol 666752-87-0P, 2-[(2,3-Difluorobenzyl)thio]-4-[((1R)-
1,2-dihydroxyethyl)amino]-6-hydroxypyrimidine-5-carboxamide
666752-88-1P, 2-[(2,3-Difluorobenzyl)thio]-6-[((1R)-2-hydroxy-1-
methylethyl)amino]-5-(5-methyl-1,2,4-oxadiazol-3-yl)pyrimidin-4-ol
666752-89-2P, 2-[(2,3-Difluorobenzyl)thio]-6-[((1R)-2-hydroxy-1-
methylethyl)amino]-5-(1,3-oxazol-5-yl)pyrimidin-4-ol 666752-93-8P
, 2-[(2,3-Difluorobenzyl)thio]-4-[((1R)-1,2-dihydroxyethyl)amino]-6-
hydroxy-N,N-dimethylpyrimidine-5-carboxamide 666752-99-4P,
2-[(2,3-Difluorobenzyl)thio]-5-fluoro-6-[((1R)-2-hydroxy-1-
methylethyl)amino]pyrimidin-4-ol 666753-00-0P,
2-[(3,4-Difluorobenzyl)thio]-5-fluoro-6-[((1R)-2-hydroxy-1-
methylethyl)amino]pyrimidin-4-ol 666753-02-2P,
2-[(3-Fluorobenzyl)thio]-5-fluoro-6-[((1R)-2-hydroxy-1-
methylethyl)amino]pyrimidin-4-ol 666753-04-4P,
2-[(4-Fluorobenzyl)thio]-5-fluoro-6-[((1R)-2-hydroxy-1-
methylethyl)amino]pyrimidin-4-ol
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(drug candidate; preparation of 2-organothio-6-amino-4-pyrimidinols as
chemokine receptor activity modulators)

RN 666752-50-7 CAPLUS
CN 4(1H)-Pyrimidinone, 6-[[(1R)-2-hydroxy-1-methylethyl]amino]-2-

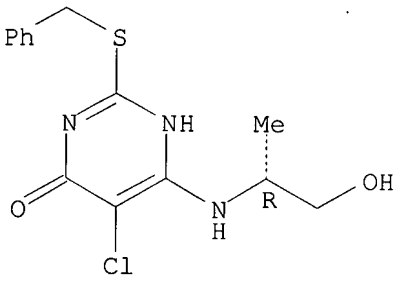
[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



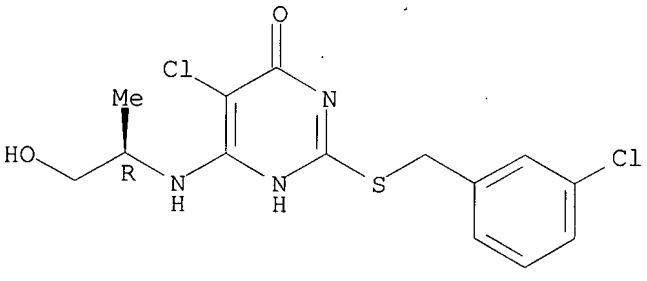
RN 666752-52-9 CAPLUS
CN 4(1H)-Pyrimidinone, 5-chloro-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-2-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



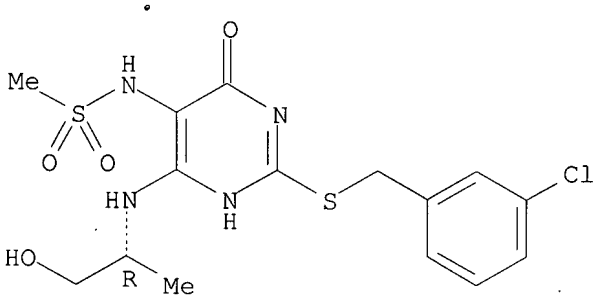
RN 666752-54-1 CAPLUS
CN 4(1H)-Pyrimidinone, 5-chloro-2-[[(3-chlorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



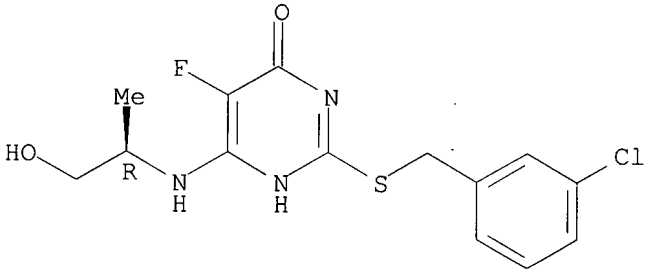
RN 666752-56-3 CAPLUS
CN Methanesulfonamide, N-[2-[[(3-chlorophenyl)methyl]thio]-1,4-dihydro-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-4-oxo-5-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



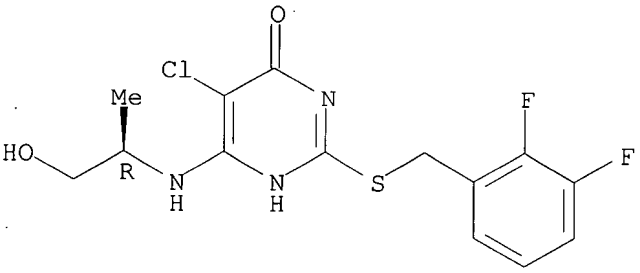
RN 666752-58-5 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[(3-chlorophenyl)methyl]thio]-5-fluoro-6-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



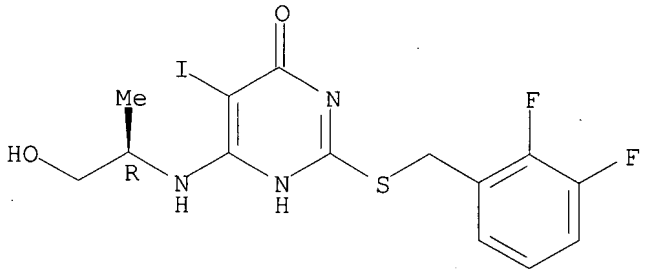
RN 666752-66-5 CAPLUS
CN 4(1H)-Pyrimidinone, 5-chloro-2-[[(2,3-difluorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



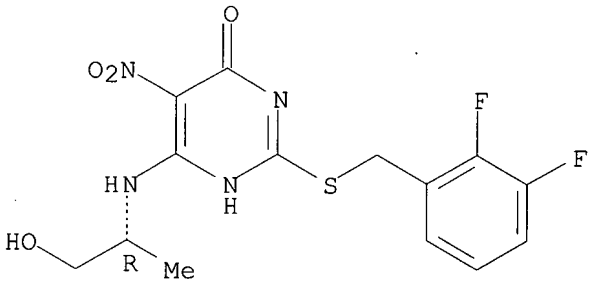
RN 666752-69-8 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[(2,3-difluorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



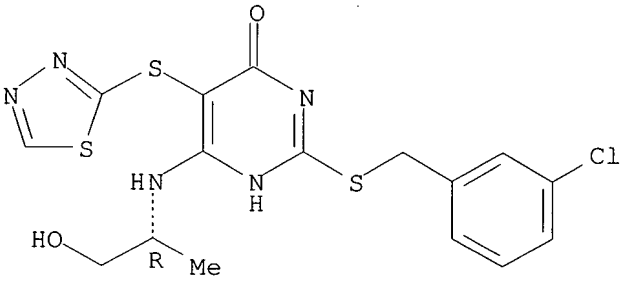
RN 666752-70-1 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[(2,3-difluorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



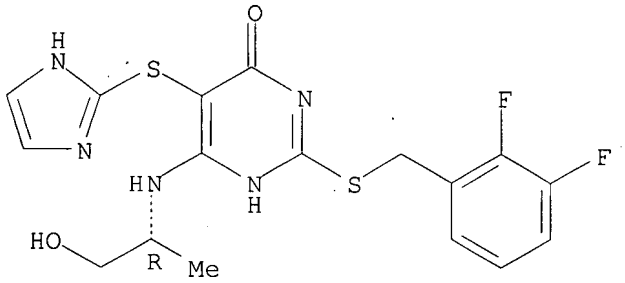
RN 666752-72-3 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[(3-chlorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-(1,3,4-thiadiazol-2-ylthio)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



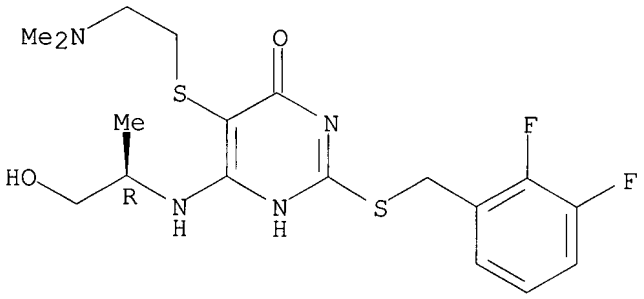
RN 666752-73-4 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[(2,3-difluorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-(1H-imidazol-2-ylthio)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



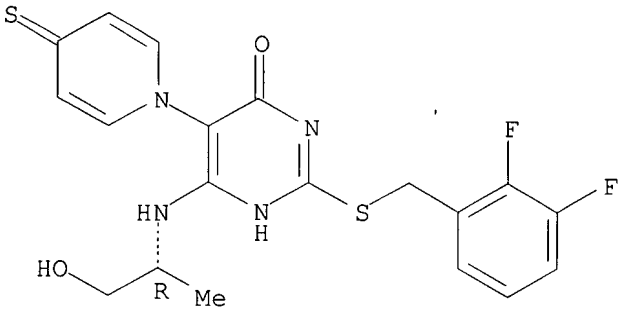
RN 666752-74-5 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[(2,3-difluorophenyl)methyl]thio]-5-[[2-(dimethylamino)ethyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



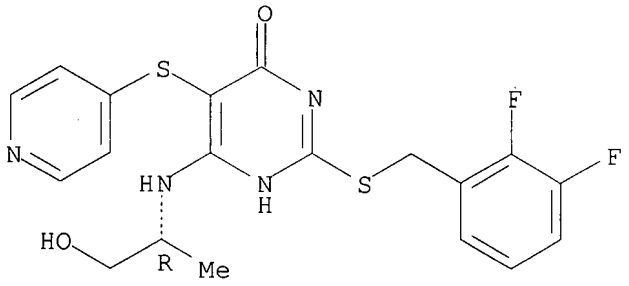
RN 666752-75-6 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[(2,3-difluorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-(4-thioxo-1(4H)-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



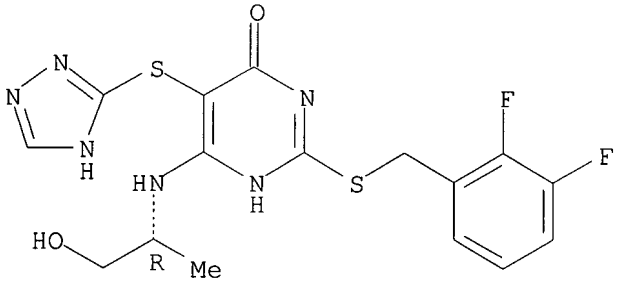
RN 666752-76-7 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[(2,3-difluorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-(4-pyridinylthio)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



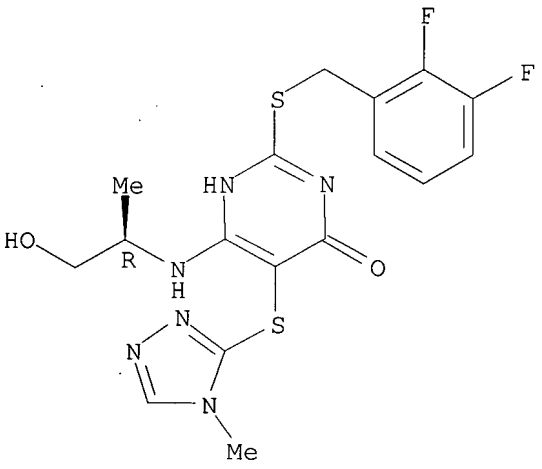
RN 666752-77-8 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[(2,3-difluorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-(1H-1,2,4-triazol-3-ylthio)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 666752-78-9 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[(2,3-difluorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[(4-methyl-4H-1,2,4-triazol-3-yl)thio]- (9CI) (CA INDEX NAME)

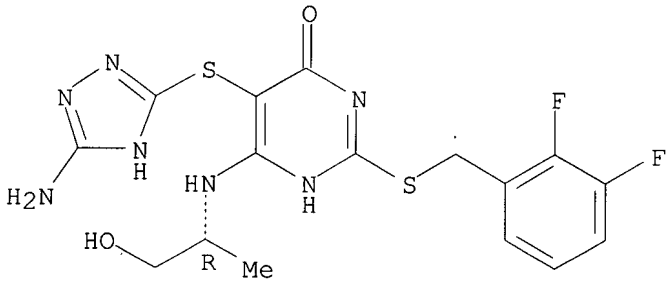
Absolute stereochemistry.



RN 666752-79-0 CAPLUS
CN 4(1H)-Pyrimidinone, 5-[(5-amino-1H-1,2,4-triazol-3-yl)thio]-2-[[(2,3-

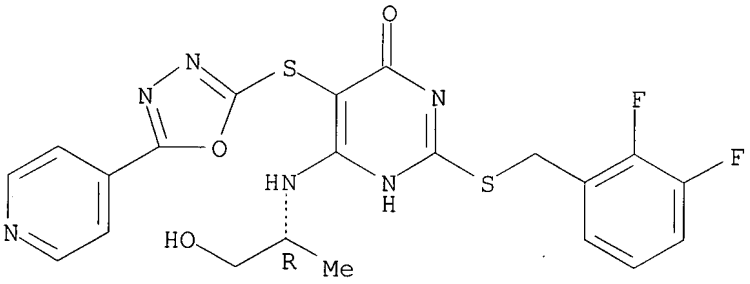
difluorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



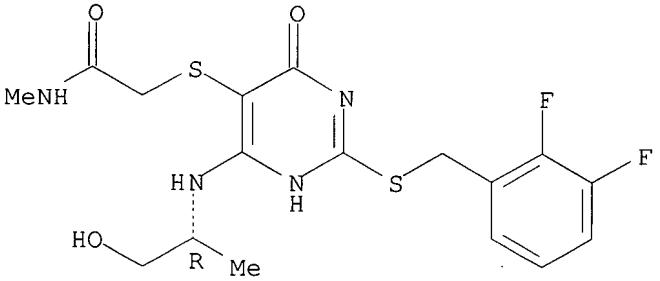
RN 666752-80-3 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[(2,3-difluorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[[5-(4-pyridinyl)-1,3,4-oxadiazol-2-yl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



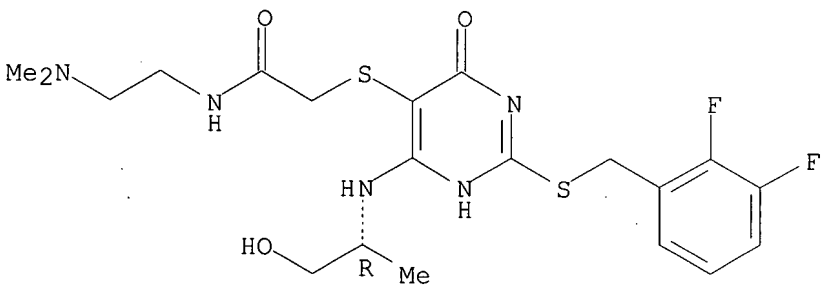
RN 666752-82-5 CAPLUS
CN Acetamide, 2-[[2-[[(2,3-difluorophenyl)methyl]thio]-1,4-dihydro-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-4-oxo-5-pyrimidinyl]thio]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



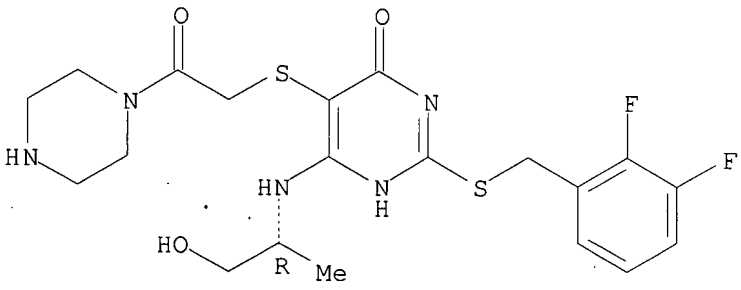
RN 666752-83-6 CAPLUS
CN Acetamide, 2-[[2-[[(2,3-difluorophenyl)methyl]thio]-1,4-dihydro-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-4-oxo-5-pyrimidinyl]thio]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



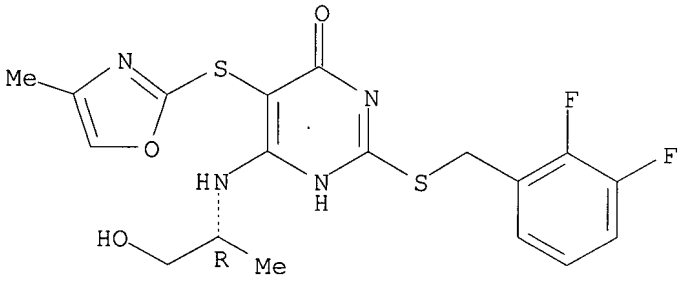
RN 666752-84-7 CAPLUS
CN Piperazine, 1-[[[2-[[(2,3-difluorophenyl)methyl]thio]-1,4-dihydro-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-4-oxo-5-pyrimidinyl]thio]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



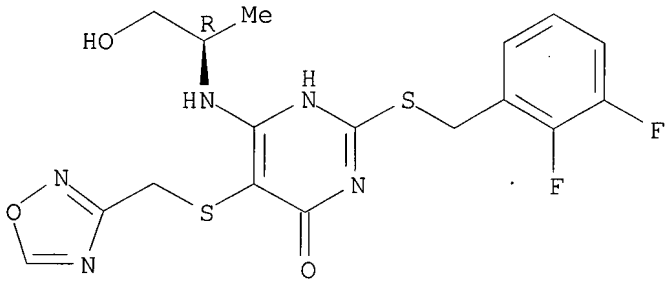
RN 666752-85-8 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[(2,3-difluorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[(4-methyl-2-oxazolyl)thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



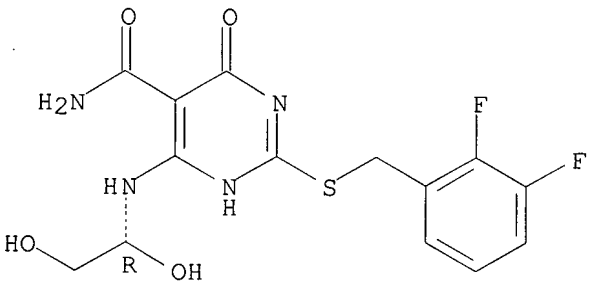
RN 666752-86-9 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[(2,3-difluorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-[(1,2,4-oxadiazol-3-ylmethyl)thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



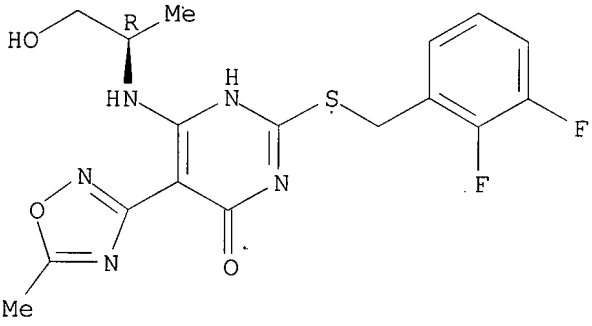
RN 666752-87-0 CAPLUS
CN 5-Pyrimidinecarboxamide, 2-[[(2,3-difluorophenyl)methyl]thio]-6-[[(1R)-1,2-dihydroxyethyl]amino]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



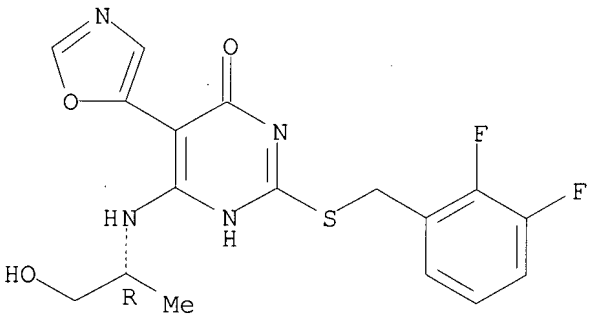
RN 666752-88-1 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[(2,3-difluorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-(5-methyl-1,2,4-oxadiazol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



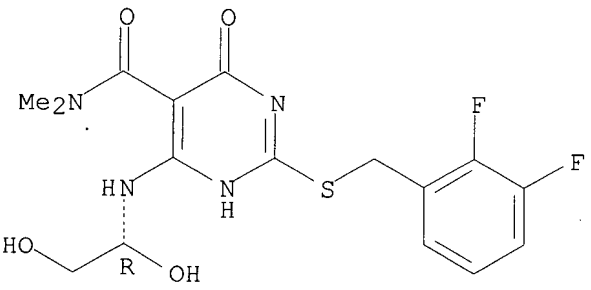
RN 666752-89-2 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[(2,3-difluorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]-5-(5-oxazolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



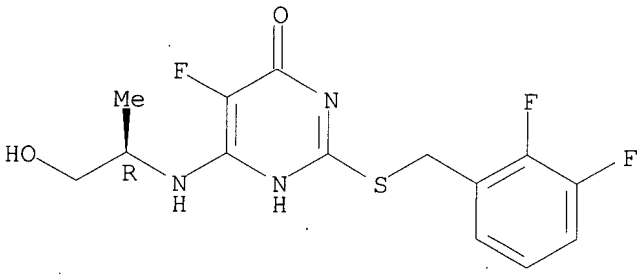
RN 666752-93-8 CAPLUS
CN 5-Pyrimidinecarboxamide, 2-[[(2,3-difluorophenyl)methyl]thio]-6-[[(1R)-1,2-dihydroxyethyl]amino]-1,4-dihydro-N,N-dimethyl-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



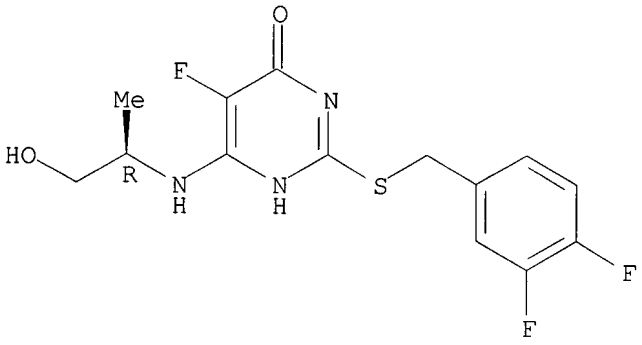
RN 666752-99-4 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[(2,3-difluorophenyl)methyl]thio]-5-fluoro-6-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



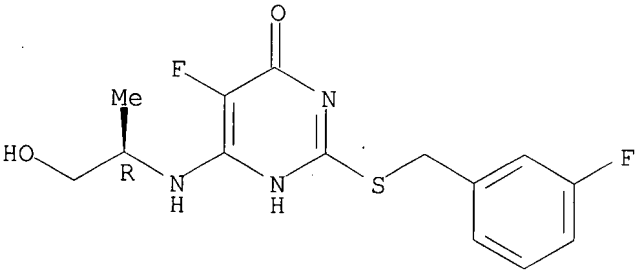
RN 666753-00-0 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[(3,4-difluorophenyl)methyl]thio]-5-fluoro-6-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



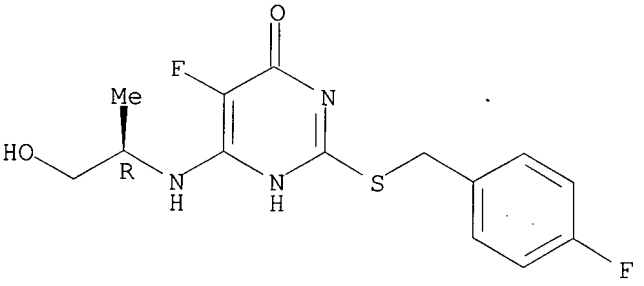
RN 666753-02-2 CAPLUS
CN 4(1H)-Pyrimidinone, 5-fluoro-2-[[(3-fluorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 666753-04-4 CAPLUS
CN 4(1H)-Pyrimidinone, 5-fluoro-2-[[(4-fluorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

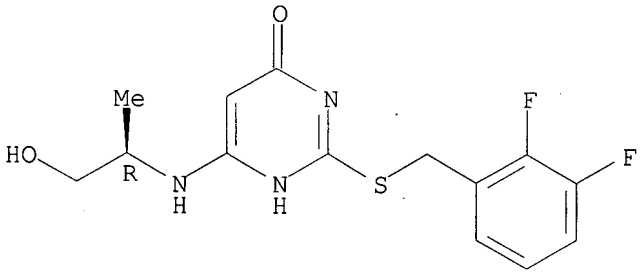


IT 666752-67-6P, 2-[[(2,3-Difluorophenyl)methyl]thio]-6-[((1R)-2-hydroxy-1-methylethyl)amino]-4-pyrimidinol 666752-68-7P, 6-[[(1R)-2-(Acetyloxy)-1-methylethyl]amino]-2-[[(2,3-difluorophenyl)methyl]thio]-4-pyrimidinol 666752-71-2P, 6-[[(1R)-2-(Acetyloxy)-1-methylethyl]amino]-2-[[(2,3-difluorophenyl)methyl]thio]-5-nitro-4-pyrimidinol 666753-01-1P, 2-[(3,4-Difluorobenzyl)thio]-6-[((1R)-2-hydroxy-1-methylethyl)amino]pyrimidin-4-ol 666753-03-3P, 2-[(3-Fluorobenzyl)thio]-6-[((1R)-2-hydroxy-1-methylethyl)amino]pyrimidin-

4-ol 666753-05-5P, 2-[(4-Fluorobenzyl)thio]-6-[((1R)-2-hydroxy-1-methylethyl)amino]pyrimidin-4-ol
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-organothio-6-amino-4-pyrimidinols as chemokine receptor activity modulators)

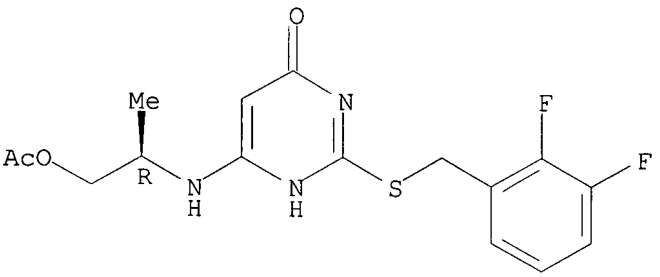
RN 666752-67-6 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[(2,3-difluorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



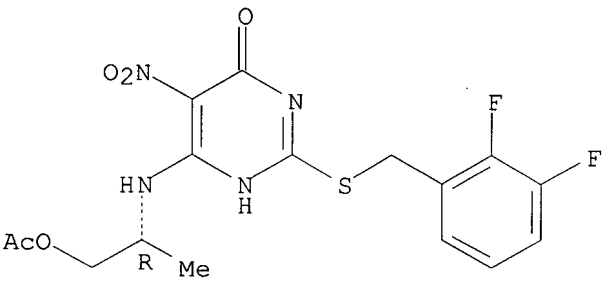
RN 666752-68-7 CAPLUS
CN 4(1H)-Pyrimidinone, 6-[[(1R)-2-(acetyloxy)-1-methylethyl]amino]-2-[[(2,3-difluorophenyl)methyl]thio]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



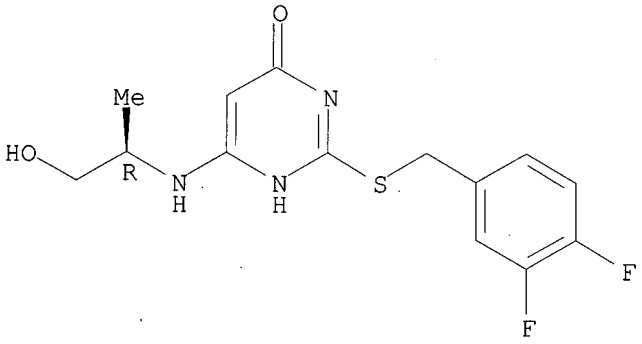
RN 666752-71-2 CAPLUS
CN 4(1H)-Pyrimidinone, 6-[[(1R)-2-(acetyloxy)-1-methylethyl]amino]-2-[[(2,3-difluorophenyl)methyl]thio]-5-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



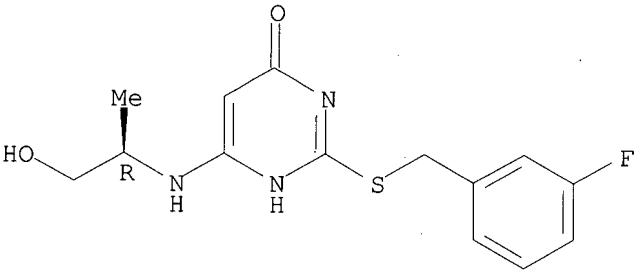
RN 666753-01-1 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[(3,4-difluorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



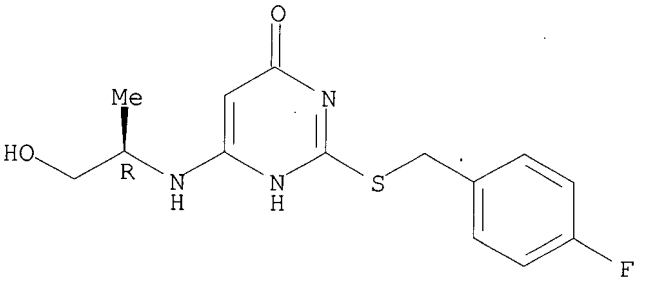
RN 666753-03-3 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[(3-fluorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 666753-05-5 CAPLUS
CN 4(1H)-Pyrimidinone, 2-[[(4-fluorophenyl)methyl]thio]-6-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:51476 CAPLUS
DN 136:118330
TI Preparation of antibiotic cephalosporin derivatives for use as antibacterials
IN Lee, Chang-Seok; Oh, Seong-Ho; Ryu, Eun-Jung; Joo, Hyung-Yeul; Youn, Ha-Sik; Jang, Yong-Jin; Kim, Geun-Tae
PA LG Chem Investment Ltd., S. Korea
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002004464	A1	20020117	WO 2001-KR1027	20010614
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2409337	A1	20020117	CA 2001-2409337	20010614
	EP 1299397	A1	20030409	EP 2001-938809	20010614
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004502778	T	20040129	JP 2002-509328	20010614
	KR 2002005423	A	20020117	KR 2001-34336	20010618
	US 2003162762	A1	20030828	US 2002-276961	20021121
PRAI	KR 2000-38801	A	20000707		
	WO 2001-KR1027	W	20010614		

OS MARPAT 136:118330
AB Cephalosporin antibiotics I (R1 and R2 = independently = H, halogen, C1-6 alkyl or alkylthio, aryl, arylthio, C5-6 heteroaryl with one or two atoms of N and/or O; R3 = H, carboxy protecting group; Q = O, S, NH, NR where R = H, C1-6 alkyl, benzyl; Z = CH, N; n = 0, 1; Ar = heteroaryl groups Ar1-6 and X, Y, W, A, B, D, E, G, I = independently N, C (or CH) when the ring is pyrimidine; R4 = H, C1-4 alkyl or amino (un)substituted with substituent from C1-6 (hydroxy)alkyl; R5 and R6 = independently H, OH, alkyl(thio), amino (un)substituted; R7-R11 = independently H, C1-6 alkyl, amino (un)substituted; R12-R18 = independently H, C1-6 (hydroxy)alkyl, amino (un)substituted; --- = single or double bond; the propenyl group when n = 1 at C-3 may be cis or trans) and pharmaceutically acceptable non-toxic salt, physiol. hydrolyzable ester, hydrate, solvate or pharmaceutical composition containing these cephalosporins were prepared β-Lactam II was prepared in 27% yield from the 4-methoxybenzyl protected acid of II which was reacted in acetone and NaI with 2-amino-4-hydroxy-6-mercaptopyrimidine hemisulfate and the MIC effectiveness of II was shown to be <0.008 for Staphylococcus aureus giorgio, 0.25 for S. aureus 77, 4 for S. aureus 241, 0.13 for S. epidermidis R005 and 1 for E. faecalis L239 which demonstrates good activity against major pathogenic microorganisms that cause hospital infections, including MRSA strains.
IT 389891-65-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of β-lactam antibiotics with antibacterial activity)

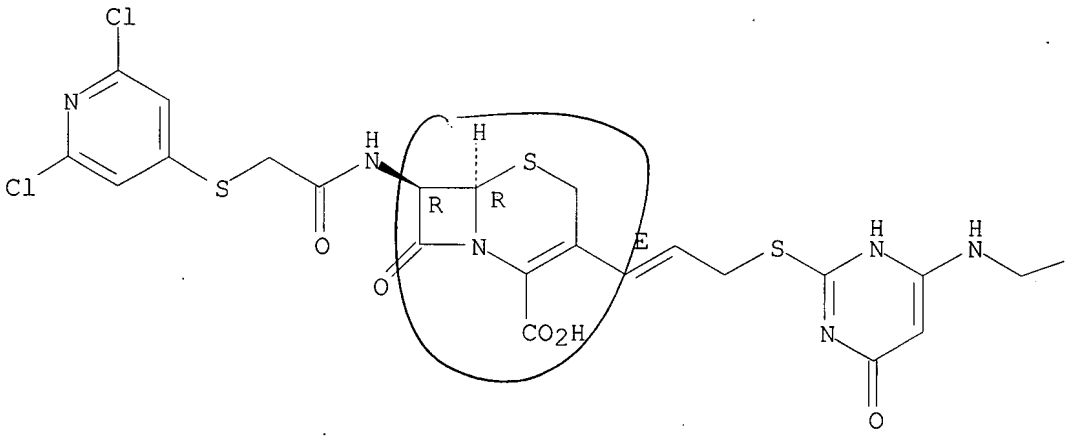
RN 389891-65-0 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2,6-dichloro-4-pyridinyl)thio]acetyl]amino]-3-[(1E)-3-[[1,4-dihydro-6-[(2-hydroxyethyl)amino]-4-oxo-2-pyrimidinyl]thio]-1-propenyl]-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

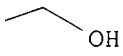
Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:10436 CAPLUS
DN 136:85724
TI Synthesis and antibacterial activity of cephalosporin derivatives
IN Cho, Yang-Rae; Lee, Chang-Seok; Oh, Seong-Ho; Ryu, Eun-Jung; Paek, Kyoung-Sook; Youn, Ha-Sik; Jang, Yong-Jin; Kim, Geun-Tae
PA LG Chem Investment Ltd., S. Korea
SO PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002000616	A2	20020103	WO 2001-KR1020	20010614
	WO 2002000616	A3	20020627		
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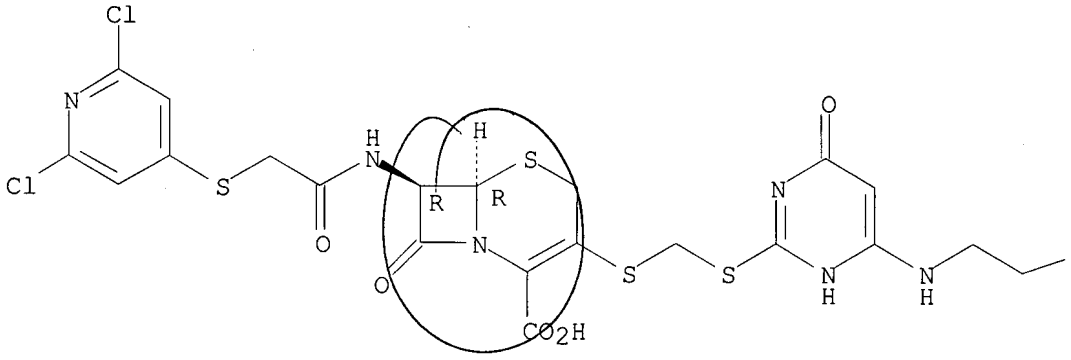
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2411714	A1	20020103	CA 2001-2411714	20010614
	AU 2001064379	A5	20020108	AU 2001-64379	20010614
	EP 1294730	A2	20030326	EP 2001-938803	20010614
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004512266	T	20040422	JP 2002-505364	20010614
	KR 2002001542	A	20020109	KR 2001-34340	20010618
	US 2003166922	A1	20030904	US 2002-276960	20021121
PRAI	KR 2000-35834	A	20000628		
	WO 2001-KR1020	W	20010614		

OS MARPAT 136:85724
AB Cephalosporin derivs., such as I [R1,R2 = H, halogen, alkyl, alkylthio, aryl, heteroaryl; R3 = H, carboxy-protecting group; Q = S, O, CH2, NH, NR (R = alkyl, benzyl); Z = CH, N; n = 1-2; X, Y, W = N, C, CH; R4 = H, alkyl; R5, R6 = H, OH, (un) substituted alkyl; R4R6 = R6R7 = heterocycle; R7 = H, alkyl, (un) substituted amino], and pharmaceutically acceptable non-toxic salt, physiol. hydrolyzable ester, hydrate, solvate or isomer thereof, were prepared Thus, cephalosporin derivative II was obtained in a multistep process starting from 2,5-dichlorophenylthioacetic acid, benzhydryl (6R,7R)-3-(acetylsulfanyl)-7-amino-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylate hydrochloric acid salt and 2,4-diamino-6-mercaptopyrimidine 1/2 sulfuric acid salt. The prepared cephalosporin derivs. were tested for antibacterial activity against Staphylococcus aureus, S. epidermidis and E.faecalis, [e.g. II gave MIC = 0.008 μg/mL (S. aureus giorgio), MIC = 0.063 μg/mL, and MIC = 0.25 μg/mL, resp.].
IT 385778-54-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antibacterial activity of cephalosporin derivs.)
RN 385778-54-1 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2,6-dichloro-4-pyridinyl)thio]acetyl]amino]-3-[[[[1,4-dihydro-6-[(2-hydroxyethyl)amino]-4-oxo-2-pyrimidinyl]thio]methyl]thio]-8-oxo-, (6R,7R)-

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

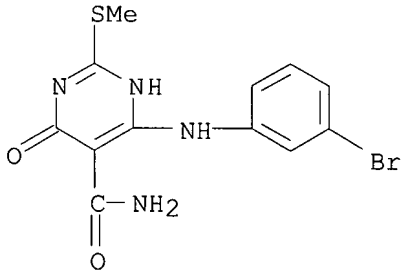
—OH

L9 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:900621 CAPLUS
DN 134:56683
TI Preparation of nitrogen-containing heterocyclic derivatives as remedies for complications of diabetes based on protein kinase C inhibition
IN Suzuki, Takayuki; Onda, Kenichi; Murakami, Takeshi; Negoro, Kenji; Yahiro, Kiyoshi; Maruyama, Tatsuya; Shimaya, Akiyoshi; Ohta, Mitsuaki
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

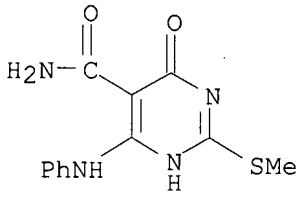
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000076980	A1	20001221	WO 2000-JP3768	20000609

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

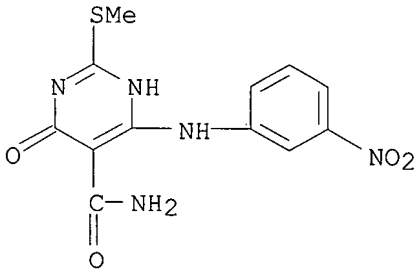
PRAI JP 1999-163344 A 19990610
JP 1999-165217 A 19990611
OS MARPAT 134:56683
AB The title compds. I [Y and X together are N:N, C(R4):N, etc.; D = (un)substituted aryl, etc.; R1 = (un)substituted heteroaryl, etc.; A1, A2 = (un)substituted alkylene, etc.; R2, R3, R4 = H, OH, etc.; or R1A2NR3 = (un)substituted heteroaryl] are prepared The title compound II in vitro showed IC50 of 0.0049 μmol against protein kinase C.
IT 313339-47-8P 313339-48-9P 313339-49-0P
313339-50-3P 313339-51-4P 313339-52-5P
313339-53-6P 313339-54-7P 313339-55-8P
313339-56-9P 313339-67-2P 313339-68-3P
313339-69-4P 313339-70-7P 313339-71-8P
313339-72-9P 313339-73-0P 313339-74-1P
313339-75-2P 313339-76-3P 313339-82-1P
313339-84-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nitrogen-containing heterocyclic derivs. as remedies for complications of diabetes)
RN 313339-47-8 CAPLUS
CN 5-Pyrimidinecarboxamide, 6-[(3-bromophenyl)amino]-1,4-dihydro-2-(methylthio)-4-oxo- (9CI) (CA INDEX NAME)



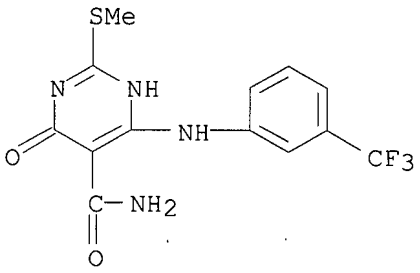
RN 313339-48-9 CAPLUS
CN 5-Pyrimidinecarboxamide, 1,4-dihydro-2-(methylthio)-4-oxo-6-(phenylamino)- (9CI) (CA INDEX NAME)



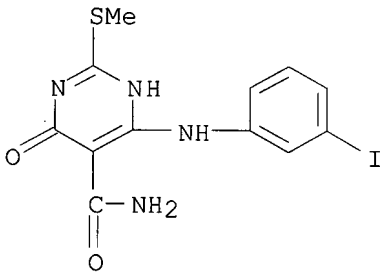
RN 313339-49-0 CAPLUS
CN 5-Pyrimidinecarboxamide, 1,4-dihydro-2-(methylthio)-6-[(3-nitrophenyl)amino]-4-oxo- (9CI) (CA INDEX NAME)



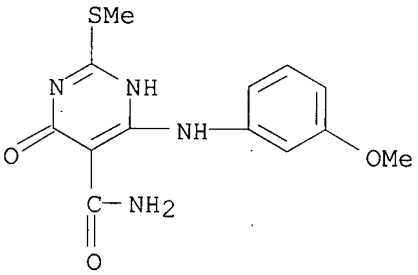
RN 313339-50-3 CAPLUS
CN 5-Pyrimidinecarboxamide, 1,4-dihydro-2-(methylthio)-4-oxo-6-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)



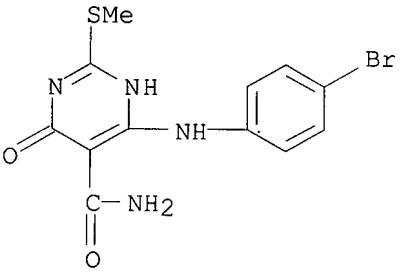
RN 313339-51-4 CAPLUS
CN 5-Pyrimidinecarboxamide, 1,4-dihydro-6-[(3-iodophenyl)amino]-2-(methylthio)-4-oxo- (9CI) (CA INDEX NAME)



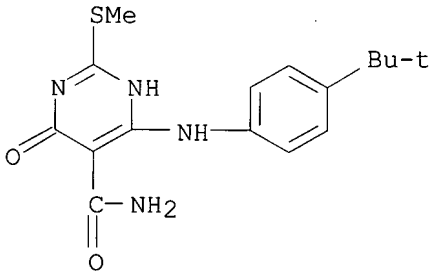
RN 313339-52-5 CAPLUS
CN 5-Pyrimidinecarboxamide, 1,4-dihydro-6-[(3-methoxyphenyl)amino]-2-(methylthio)-4-oxo- (9CI) (CA INDEX NAME)



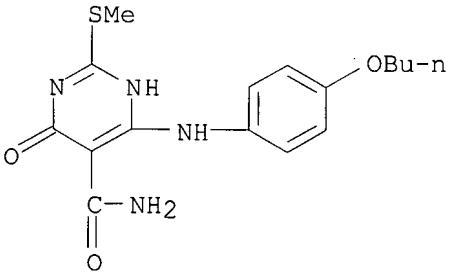
RN 313339-53-6 CAPLUS
CN 5-Pyrimidinecarboxamide, 6-[(4-bromophenyl)amino]-1,4-dihydro-2-(methylthio)-4-oxo- (9CI) (CA INDEX NAME)



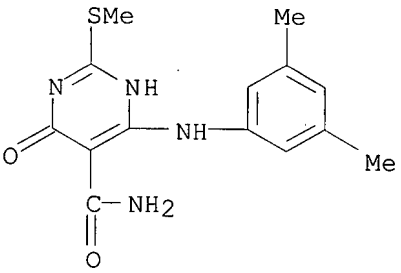
RN 313339-54-7 CAPLUS
CN 5-Pyrimidinecarboxamide, 6-[[4-(1,1-dimethylethyl)phenyl]amino]-1,4-dihydro-2-(methylthio)-4-oxo- (9CI) (CA INDEX NAME)



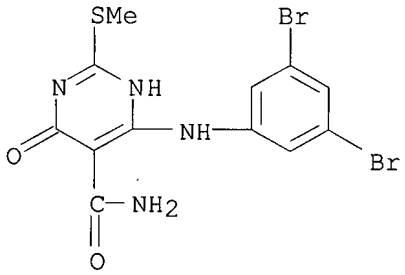
RN 313339-55-8 CAPLUS
CN 5-Pyrimidinecarboxamide, 6-[(4-butoxyphenyl)amino]-1,4-dihydro-2-(methylthio)-4-oxo- (9CI) (CA INDEX NAME)



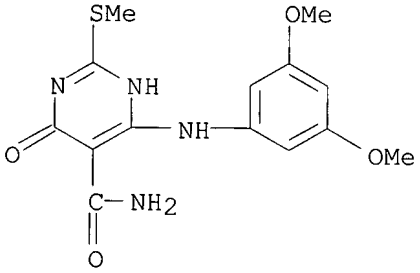
RN 313339-56-9 CAPLUS
CN 5-Pyrimidinecarboxamide, 6-[(3,5-dimethylphenyl)amino]-1,4-dihydro-2-(methylthio)-4-oxo- (9CI) (CA INDEX NAME)



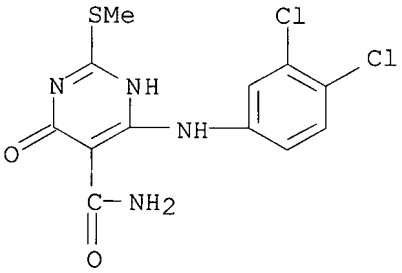
RN 313339-67-2 CAPLUS
CN 5-Pyrimidinecarboxamide, 6-[(3,5-dibromophenyl)amino]-1,4-dihydro-2-(methylthio)-4-oxo- (9CI) (CA INDEX NAME)



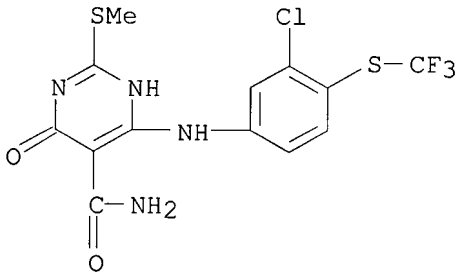
RN 313339-68-3 CAPLUS
CN 5-Pyrimidinecarboxamide, 6-[(3,5-dimethoxyphenyl)amino]-1,4-dihydro-2-(methylthio)-4-oxo- (9CI) (CA INDEX NAME)



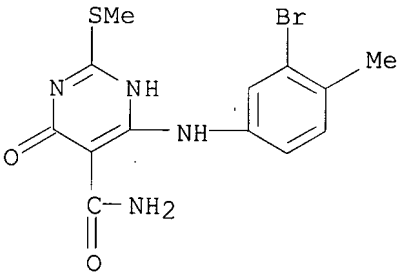
RN 313339-69-4 CAPLUS
CN 5-Pyrimidinecarboxamide, 6-[(3,4-dichlorophenyl)amino]-1,4-dihydro-2-(methylthio)-4-oxo- (9CI) (CA INDEX NAME)



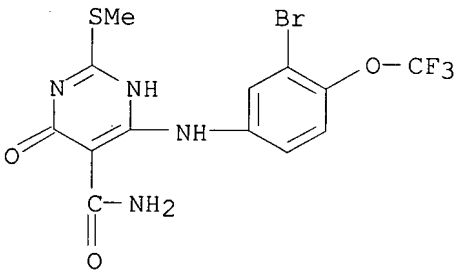
RN 313339-70-7 CAPLUS
CN 5-Pyrimidinecarboxamide, 6-[[3-chloro-4-[(trifluoromethyl)thio]phenyl]amino]-1,4-dihydro-2-(methylthio)-4-oxo- (9CI) (CA INDEX NAME)



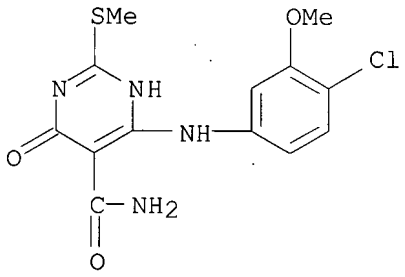
RN 313339-71-8 CAPLUS
CN 5-Pyrimidinecarboxamide, 6-[(3-bromo-4-methylphenyl)amino]-1,4-dihydro-2-(methylthio)-4-oxo- (9CI) (CA INDEX NAME)



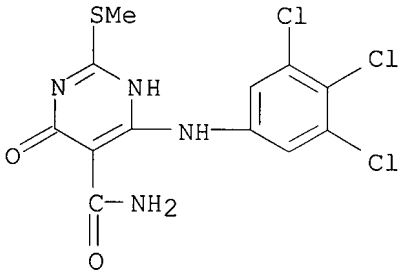
RN 313339-72-9 CAPLUS
CN 5-Pyrimidinecarboxamide, 6-[[3-bromo-4-(trifluoromethoxy)phenyl]amino]-1,4-dihydro-2-(methylthio)-4-oxo- (9CI) (CA INDEX NAME)



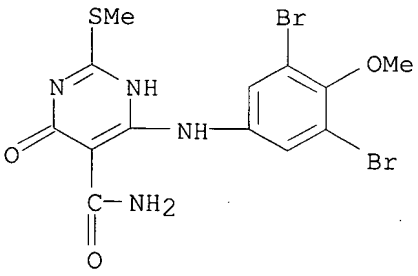
RN 313339-73-0 CAPLUS
CN 5-Pyrimidinecarboxamide, 6-[(4-chloro-3-methoxyphenyl)amino]-1,4-dihydro-2-(methylthio)-4-oxo- (9CI) (CA INDEX NAME)



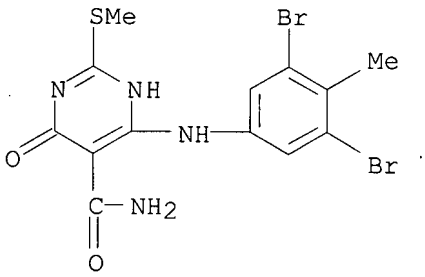
RN 313339-74-1 CAPLUS
CN 5-Pyrimidinecarboxamide, 1,4-dihydro-2-(methylthio)-4-oxo-6-[(3,4,5-trichlorophenyl)amino]- (9CI) (CA INDEX NAME)



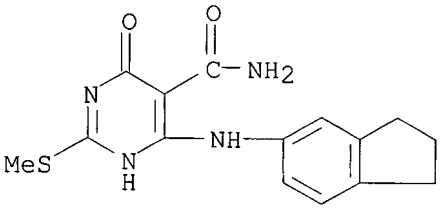
RN 313339-75-2 CAPLUS
CN 5-Pyrimidinecarboxamide, 6-[(3,5-dibromo-4-methoxyphenyl)amino]-1,4-dihydro-2-(methylthio)-4-oxo- (9CI) (CA INDEX NAME)



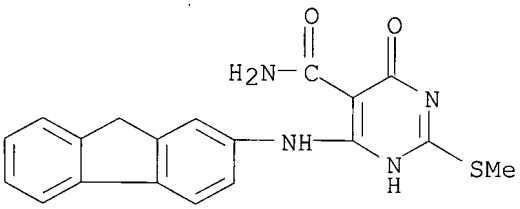
RN 313339-76-3 CAPLUS
CN 5-Pyrimidinecarboxamide, 6-[(3,5-dibromo-4-methylphenyl)amino]-1,4-dihydro-2-(methylthio)-4-oxo- (9CI) (CA INDEX NAME)



RN 313339-82-1 CAPLUS
CN 5-Pyrimidinecarboxamide, 6-[(2,3-dihydro-1H-inden-5-yl)amino]-1,4-dihydro-2-(methylthio)-4-oxo- (9CI) (CA INDEX NAME)



RN 313339-84-3 CAPLUS
CN 5-Pyrimidinecarboxamide, 6-(9H-fluoren-2-ylamino)-1,4-dihydro-2-(methylthio)-4-oxo- (9CI) (CA INDEX NAME)

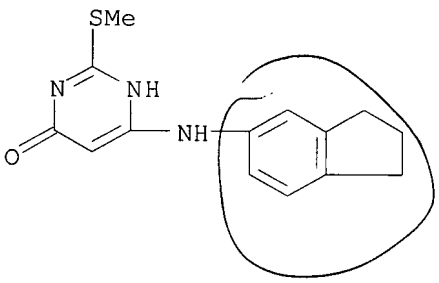


RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1996:367740 CAPLUS
DN 125:26236
TI Novel antibiotic compounds and methods to treat gram-positive bacteria and mycoplasma infections
IN Brown, Neal C.; Wright, George
PA University of Massachusetts Medical Center, USA
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9606614	A1	19960307	WO 1995-US10943	19950830
	W: AU, BG, BR, CA, CN, CZ, FI, HU, IS, JP, KP, KR, MX, NO, NZ, PL, RO, RU, SD, SG, UA, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5516905	A	19960514	US 1994-298011	19940830
	CA 2198739	A1	19960307	CA 1995-2198739	19950830
	AU 9534185	A	19960322	AU 1995-34185	19950830
	AU 703511	B2	19990325		
	EP 772439	A1	19970514	EP 1995-930997	19950830
	EP 772439	B1	20001004		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 10509134	T	19980908	JP 1995-508925	19950830
	AT 196735	T	20001015	AT 1995-930997	19950830
	ES 2151608	T3	20010101	ES 1995-930997	19950830
	AU 9935782	A	19990909	AU 1999-35782	19990622
PRAI	US 1994-298011	A	19940830		
	AU 1995-34185	A3	19950830		
	WO 1995-US10943	W	19950830		

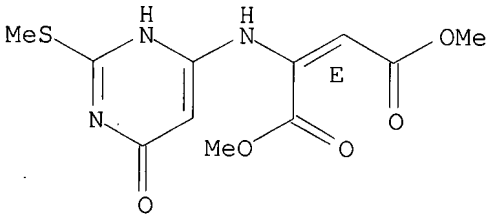
OS MARPAT 125:26236
AB A method of inhibiting replication of mycoplasma and gram-pos. bacteria is described. Useful new compds. for in vivo and in vitro inhibition and therapy for infections utilizing HPUra-like compds. are also provided. These include a number of novel 3-substituted uracil and isocytosine compds., and 10-substituted guanine and adenine compds. The compds. inhibit the activity of DNA polymerase III. Twenty compds. such as 3-(2-hydroxyethyl)-6-(5-indanylamino)uracil are claimed.
IT 177793-15-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(antibiotic compds. for treatment of gram-pos. bacteria and mycoplasma infections)
RN 177793-15-6 CAPLUS
CN 4(1H)-Pyrimidinone, 6-[(2,3-dihydro-1H-inden-5-yl)amino]-2-(methylthio)- (9CI) (CA INDEX NAME)



← 9-membered carbocycle

L9 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1995:58263 CAPLUS
DN 122:9986
TI Reactivity of 6-aminopyrimidin-4-(3H)-ones towards dimethyl acetylenedicarboxylate (DMAD). Tandem Diels-Alder/retro Diels-Alder (DA/RDA) reaction in the synthesis of 2-aminopyridines
AU Cobo, Justo; Garcia, Celeste; Melguizo, Manuel; Sanchez, Adolfo; Nogueras, Manuel
CS Quimica Organica, Univ. Jaen, Jaen, E-23071, Spain
SO Tetrahedron (1994), 50(34), 10345-58
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA English
OS CASREACT 122:9986
AB The reactions of 6-aminopyrimidin-4-(3H)-one derivs. I (R = H, Me; X = O, S) with DMAD were studied. 2-Aminopyridines and 6-amino-5-vinylpyrimidin-4-(3H)-ones were obtained as main products, which can be explained on the basis of DA/RDA reactions, or Michael addition on pyrimidine derivs.
IT 159419-59-7P
RL: BYP (Byproduct); PREP (Preparation)
(tandem Diels-Alder/retro Diels-Alder reactions of aminopyrimidinones with acetylenedicarboxylate in the synthesis of aminopyridines)
RN 159419-59-7 CAPLUS
CN 2-Butenedioic acid, 2-[[1,6-dihydro-2-(methylthio)-6-oxo-4-pyrimidinyl]amino]-, dimethyl ester, (E)- (9CI) (CA INDEX NAME)

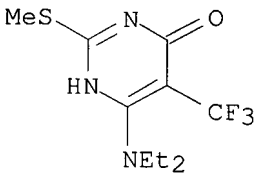
Double bond geometry as shown.



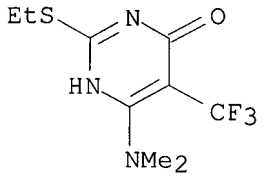
L9 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1991:680054 CAPLUS
DN 115:280054
TI Preparation of 2-(alkylthio)-6-amino-5-(trifluoromethyl)-4(3H)-pyrimidinone derivatives as insecticides, acaricides, or agrochemical fungicides
IN Inoue, Yoshio; Kobayashi, Tadashi; Masui, Akio; Asahina, Kazuo
PA Nippon Kayaku Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 03197467	A	19910828	JP 1989-335187	19891226
PRAI	JP 1989-335187		19891226		

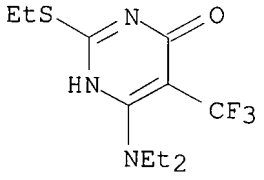
OS CASREACT 115:280054; MARPAT 115:280054
AB The title compds. [I; R = NR3R4; R3,R4 = H, C1-6 (branched) alkyl, substituted Ph; or R3R4 = alkylene to form a ring; R1 = H, C1-4 alkyl, alkenyl, or alkynyl; R2 = C1-3 alkyl] are prepared by (1) alkylation of I (R = F, R1 = H) with R1X (X = halo) and condensation of the resulting I (R = F; R1 = C1-4 alkyl, alkenyl, or alkynyl) with HNR3R4 or (2) condensation of I (R = F, R1 = H) with HNR3R4 and alkylation of the resulting I (R = NR3R4, R1 = H). Thus, 0.63 g HC.tplbond.CCH2Br and 1.2 g K2CO3 were added to a solution of 1.0 g I (R = F, R1 = H, R2 = Me) in Me2CO and the mixture was refluxed 12 h to give 0.38 g I (R = F, R1 = propargyl, R2 = Me) which (0.38 g) was stirred with 0.54 g Bu2NH in MeCN for 6 h to give 0.41 g I (R = NBu2, R1 = propargyl, R2 = Me). I (R1 = R2 = Me, R3 = R4 = Et) and 26 other I at 500 ppm killed 100% eggs of Tetranychus urticae on leaves of kidney beans. A total of 53 I were prepared
IT 137603-43-1P 137603-44-2P 137603-45-3P
137603-49-7P 137603-50-0P 137634-96-9P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as insecticide and acaricide)
RN 137603-43-1 CAPLUS
CN 4(1H)-Pyrimidinone, 6-(diethylamino)-2-(methylthio)-5-(trifluoromethyl)-(9CI) (CA INDEX NAME)



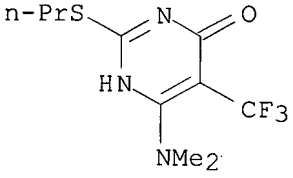
RN 137603-44-2 CAPLUS
CN 4(1H)-Pyrimidinone, 6-(dimethylamino)-2-(ethylthio)-5-(trifluoromethyl)-(9CI) (CA INDEX NAME)



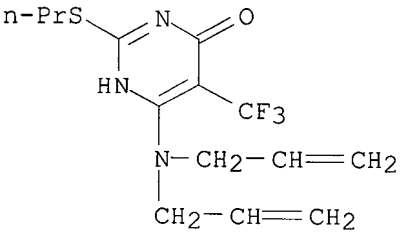
RN 137603-45-3 CAPLUS
CN 4(1H)-Pyrimidinone, 6-(diethylamino)-2-(ethylthio)-5-(trifluoromethyl)-
(9CI) (CA INDEX NAME)



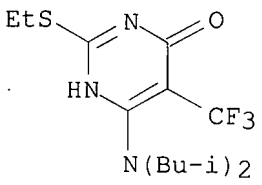
RN 137603-49-7 CAPLUS
CN 4(1H)-Pyrimidinone, 6-(dimethylamino)-2-(propylthio)-5-(trifluoromethyl)-
(9CI) (CA INDEX NAME)



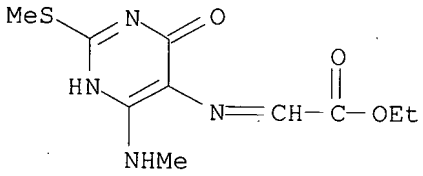
RN 137603-50-0 CAPLUS
CN 4(1H)-Pyrimidinone, 6-(di-2-propenylamino)-2-(propylthio)-5-
(trifluoromethyl)- (9CI) (CA INDEX NAME)



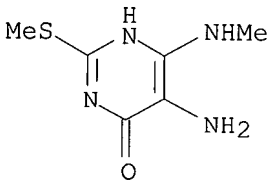
RN 137634-96-9 CAPLUS
CN 4(1H)-Pyrimidinone, 6-[bis(2-methylpropyl)amino]-2-(ethylthio)-5-
(trifluoromethyl)- (9CI) (CA INDEX NAME)


EtS
N
O
HN
CF3
N(Bu-i)2

L9 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1990:119303 CAPLUS
DN 112:119303
TI Nucleosides. XLV. Synthesis of 8-β-D-ribofuranosylleukopterin
AU Kiriasis, Leonidas; Pfleiderer, Wolfgang
CS Fak. Chem., Univ. Konstanz, Konstanz, D-7750, Fed. Rep. Ger.
SO Nucleosides & Nucleotides (1989), 8(7), 1345-58
CODEN: NUNUD5; ISSN: 0732-8311
DT Journal
LA German
OS CASREACT 112:119303
AB Model reactions with 2-methylthiopteridinediones I (R = H, Me, CH2Ph) and 4-benzyloxy-8-methyl-2-thiopteridin-7(8H)-one showed that peracid oxidns. lead to the 2-methylsulfonyl-6-oxo derivs. The analogous pteridine-8-ribosides revealed the same behavior, which allowed the synthesis of 8-β-D-ribofuranosylleucopterin (II) from 4-benzyloxy-8-(2,3,5-tri-O-benzoyl-β-D-ribofuranosyl)-2-methylthio-pteridin-7(8H)-one.
IT 125322-68-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
RN 125322-68-1 CAPLUS
CN Acetic acid, [[1,4-dihydro-6-(methylamino)-2-(methylthio)-4-oxo-5-pyrimidinyl]imino]-, ethyl ester (9CI) (CA INDEX NAME)

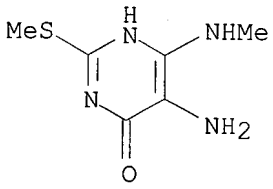


IT 120270-24-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with glyoxylate)
RN 120270-24-8 CAPLUS
CN 4(1H)-Pyrimidinone, 5-amino-6-(methylamino)-2-(methylthio)- (9CI) (CA INDEX NAME)

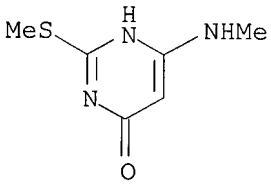


Same as #9

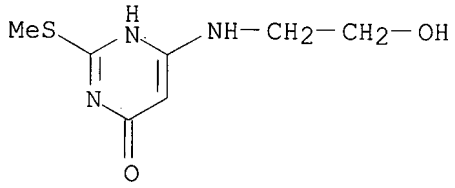
L9 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1989:192508 CAPLUS
DN 110:192508
TI Pteridines. Part LXXXVII. Synthesis and properties of 8-substituted 2-thiolumazines
AU Huebsch, Walter; Pfleiderer, Wolfgang
CS Fak. Chem., Univ. Konstanz, Konstanz, D-7750, Fed. Rep. Ger.
SO Helvetica Chimica Acta (1988), 71(6), 1379-91
CODEN: HCACAV; ISSN: 0018-019X
DT Journal
LA English
OS CASREACT 110:192508
AB 2,8-Dihydro-2-thioxopteridin-(3H)-ones I (R = Me, CH2CH2OH, Ph; R1 = H, Me, Ph) and their S-Me derivs. have been synthesized by condensation of 5-amino-6-(substituted amino)-1,2-dihydro-2-thioxopyrimidin-4(3H)-ones and the S-Me derivs. with R1COCOR1. The presence of a quinonoid cross-conjugated π-electron system makes this type of compound susceptible to nucleophilic addns. in position 7, which leads to intramol. and intermol. covalent adducts.
IT 120270-24-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cyclization. of, with diketones)
RN 120270-24-8 CAPLUS
CN 4(1H)-Pyrimidinone, 5-amino-6-(methylamino)-2-(methylthio)- (9CI) (CA INDEX NAME)



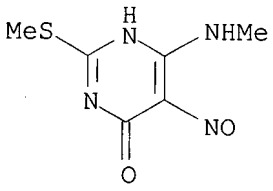
IT 120270-05-5P 120270-06-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and nitrosation of)
RN 120270-05-5 CAPLUS
CN 4(1H)-Pyrimidinone, 6-(methylamino)-2-(methylthio)- (9CI) (CA INDEX NAME)



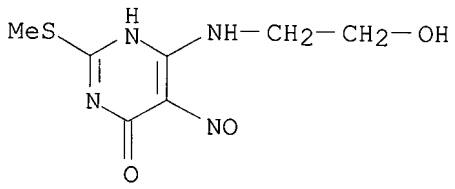
RN 120270-06-6 CAPLUS
CN 4(1H)-Pyrimidinone, 6-[(2-hydroxyethyl)amino]-2-(methylthio)- (9CI) (CA INDEX NAME)



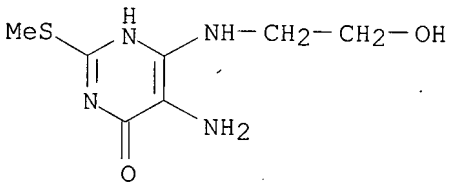
IT 120270-11-3P 120270-12-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 120270-11-3 CAPLUS
CN 4(1H)-Pyrimidinone, 6-(methylamino)-2-(methylthio)-5-nitroso- (9CI) (CA INDEX NAME)



RN 120270-12-4 CAPLUS
CN 4(1H)-Pyrimidinone, 6-[(2-hydroxyethyl)amino]-2-(methylthio)-5-nitroso- (9CI) (CA INDEX NAME)



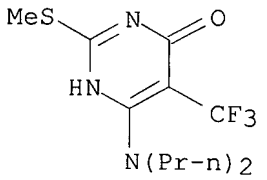
IT 120270-25-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 120270-25-9 CAPLUS
CN 4(1H)-Pyrimidinone, 5-amino-6-[(2-hydroxyethyl)amino]-2-(methylthio)- (9CI) (CA INDEX NAME)



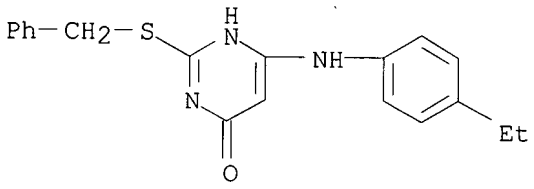
L9 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1987:18604 CAPLUS
DN 106:18604
TI 2-(Alkylthio)-6-amino-3(2H)-pyrimidinones
IN Inoue, Yoshio; Iwa, Riichi; Tatsu, Harumi
PA Nippon Mectron Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 61118372	A	19860605	JP 1984-237859	19841112
	JP 05028708	B	19930427		
PRAI	JP 1984-237859		19841112		

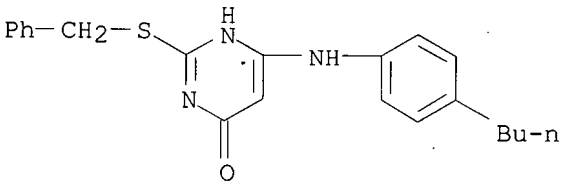
OS CASREACT 106:18604
AB The title compds. (I; R = alkyl; NR12 = secondary amine residue) were prepared, e.g., by cyclocondensation of (F3C)2CHCONHC(SR):NH (II) with secondary amines. Thus, treating II (R = Me), prepared by reaction of (F3C)2CHCF2OMe.Et3N with MeSC(:NH)NH2, with Pr2NH in DMF at room temperature for 4 h gave 91% I (R = Me, R1 = Pr).
IT 105958-98-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 105958-98-3 CAPLUS
CN 4(1H)-Pyrimidinone, 6-(dipropylamino)-2-(methylthio)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1985:615252 CAPLUS
DN 103:215252
TI Synthesis of 6-anilino-2-thiouracils and their inhibition of human placenta iodothyronine deiodinase
AU Nogimori, T.; Emerson, C. H.; Braverman, L. E.; Wu, C. F.; Gambino, J.; Wright, G. E.
CS Med. Sch., Univ. Massachusetts, Worcester, MA, 01605, USA
SO Journal of Medicinal Chemistry (1985), 28(11), 1692-4
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 103:215252
AB The title compds. (I; R-R2 = H, R3 = H, Et, Bu; R = Me, R1 = R2 = H, R3 = Et, Bu) were prepared and tested for their ability to inhibit the inner-ring iodothyronine deiodinase from human placenta. I (R3 = Et, Bu) were strongly inhibitory to the enzyme and were much more effective than the standard deiodinase inhibitor, 6-propyl-2-thiouracil. The inhibition caused by I (R-R2 = H, R3 = Bu) was, moreover, unaffected by high concns. of reducing agent in the enzyme assay. Attempts to prepare 3-alkyl derivs. via S-debenzylation of 2-(benzylthio) intermediates led to rearrangement to, e.g., 6-amino-5-benzyl-3-methyl-2-thiouracil (II), which also strongly inhibited the deiodinase reaction. These compds. are useful to study metabolism of thyroid hormones and may be clin. useful to enhance the availability of active thyroid hormones to certain organs.
IT 98421-08-0P 98421-09-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and methylation of)
RN 98421-08-0 CAPLUS
CN 4(1H)-Pyrimidinone, 6-[(4-ethylphenyl)amino]-2-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



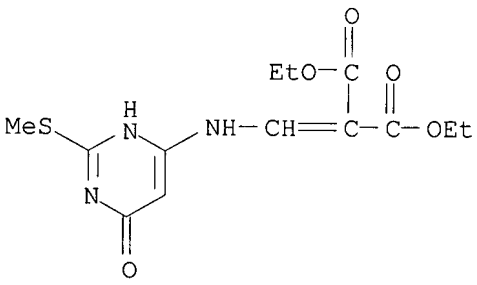
RN 98421-09-1 CAPLUS
CN 4(1H)-Pyrimidinone, 6-[(4-butylphenyl)amino]-2-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



L9 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1973:29711 CAPLUS
DN 78:29711
TI Pyrido[2,3-d]pyrimidines. III. Synthesis of some 8-β-D-ribofuranosylprido[2,3-d]pyrimidines structurally related to the antibiotic sangivamycin

Same as #13

AU Rizkalla, Boshra H.; Broom, Arthur D.
CS Coll. Pharm., Univ. Utah, Salt Lake City, UT, USA
SO Journal of Organic Chemistry (1972), 37(25), 3980-5
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 78:29711
AB Chemical synthesis of a series of 6-carbethoxy and 6-carboxamido-5-oxopyrido[2,3-d]pyrimidines via the requisite diethyl(6-pyrimidinyl)aminomethylene malonates is described. Certain of these pyrido[2,3-d]pyrimidines are converted into 8-β-D-ribofuranosyl derivs., which may be regarded as analogs of the antibiotic sangivamycin. A unique H-N-H geminal coupling in several 4-amino and 4-amino-6-carboxamido-5-oxopyrido[2,3-d]pyrimidine derivs. is described.
IT 37946-28-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 37946-28-4 CAPLUS
CN Propanedioic acid, [[[1,6-dihydro-2-(methylthio)-6-oxo-4-pyrimidinyl]amino]methylene]-, diethyl ester (9CI) (CA INDEX NAME)



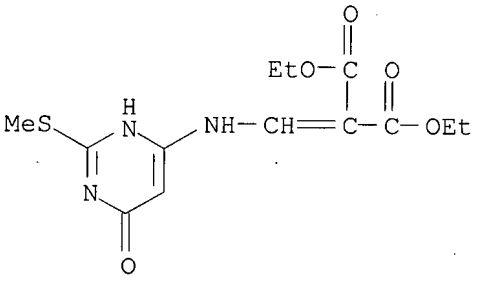
L9 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1972:501684 CAPLUS
DN 77:101684
TI Antibacterial 2-substituted-5,8-dihydro-5-oxopyrido[2,3-d]pyrimidine-6-carboxylic acid derivatives
IN Minami, Shinsaku; Shono, Toshihiro; Shimizu, Masanao; Takase, Yoshiyuki
PA Dainippon Pharmaceutical Co., Ltd.
SO U.S., 15 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 3673184	A	19720627	US 1970-68558	19700902
PRAI US 1970-68558	A	19700902		

AB Bactericidal oxopyridopyrimidinecarboxylates (I, R = H, Et; R1 = H, Me, Et, Pr, Bu, Me2CH; R2 = H, HO, H2N, Me, MeS, MeO, Me2N, MeNH, morpholino, piperidino, pyrrolidino, HOCH2CH2NH, Me2CHNH, cyclohexylamino, Me(CH2)5NH, BuNH, Et2N(CH2)3NH, Me2N(CH2)3NH, EtNH, Me(CH2)11NH, Me(CH2)17NH; NH2NH; R3 = H, OH, Me) were prepared by cyclizing aminomethylenemalonates (II) and hydrolyzing, alkylating, aminating or desulfurizing. II (R = Et; R1 = H, Et; R2 = H, OH, Me, MeO, MeS, Me2N; R3 = H, Cl, Me) were also prepared Thus, 12.2 g 6-amino-2,4-dimethylpyrimidine treated with 23.0 g EtOCH:C(CO2Et)2 gave 18.5 g II (R = Et, R1 = H, R2 = R3 = Me). Heating 43 g II (R = Et, R1 = R3 = H, R2 = MeS) gave 26.4 g I (R = Et, R1 = R3 = H, R2 = MeS). I (R = R1 = Rt, R2 = Me2N, R3 = H) at 100 mg/kg twice a day for 4 days caused survival of 8 of 10 mice infected with Salmonella typhimurium. I (R = R3 = H, R1 = Et, R2 = Me2N) had min. inhibitory concns. against Staphylococcus aureus 10, Klebsiella pneumoniae 0.3, and Mycobacterium tuberculosis 10 μg/ml.

IT 37946-28-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 37946-28-4 CAPLUS
CN Propanedioic acid, [[[1,6-dihydro-2-(methylthio)-6-oxo-4-pyrimidinyl]amino]methylene]-, diethyl ester (9CI) (CA INDEX NAME)



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=> log y
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              68.98     283.29

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
CA SUBSCRIBER PRICE                             -10.14     -10.14

STN INTERNATIONAL LOGOFF AT 11:36:59 ON 25 SEP 2007
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